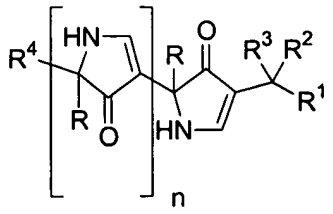
departing from the spirit of the invention. It is therefore intended that the appended claims cover all such equivalent variations as fall within the true scope and spirit of the invention.

We claim:

1. A process for preparing a polypyrrolinone having the formula **(38)**:



(38)

wherein:

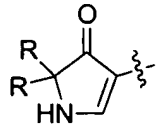
R is independently selected from a group consisting of a straight C_1-C_6 alkyl, a branched C_3-C_7 alkyl, C_3-C_7 cycloalkyl, a straight C_1-C_6 alkenyl, a branched C_3-C_7 alkenyl, C_1-C_4 hydroxyalkyl, C_1-C_4 thioalkyl, C_1-C_4 methylthioalkyl, $-(CH_2)_oN(R^5)_2$, $-(CH_2)_oCO_2H$, $-(CH_2)_oCON(R^5)_2$, phenyl optionally substituted with one to three hydroxyl, lower alkoxy, halo, nitro, or cyano groups, C_7-C_{12} benzyl optionally substituted with the same groups as above or heteroaryl;

R^1 is hydrogen, hydroxyl, lower alkoxy, amino or alkoxycarbonyl-protected amino;

R^2 is R , carboxyl, a carbonyl linked to a solid support or alkoxycarbonyl;

R^3 is R or hydrogen;

R^4 is R or **(46)**;



(46)

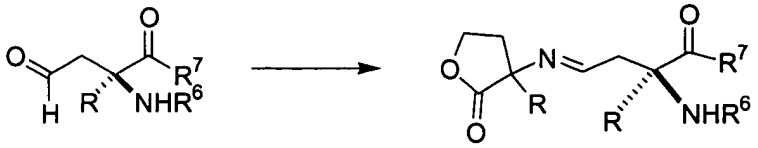
R^5 is hydrogen or lower alkyl;

n is 0 to 3;

o is 1 to 4;

comprising the steps:

(a) exposing an α-amino-α-substituted-1,4-dioxo compound **(39)**, optionally with an alkoxycarbonyl protecting group, to a plurality of treatments with a 2-substituted-2-aminovalerolactone, trimethylorthoformate, optionally in the presence of a solvent, to produce imine **(40)**



(39) (40)

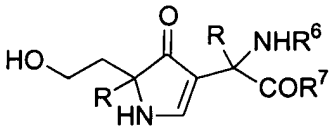
wherein:

R^6 is an amino protecting group,

R^7 is a C_1-C_4 alkoxy or a carboxyl or carbamido linked to a solid support, or

R^6 and R^7 together form a pyrrolinone ring;

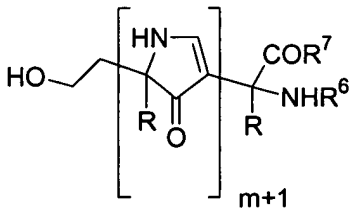
(b) cyclizing **(40)** by forming metalloimine carbanion with base optionally in the presence of a crown ether to form a pyrrolinone **(41)**;



(41)

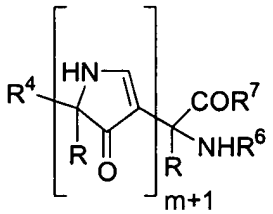
(c) oxidizing the primary alcohol to the corresponding aldehyde;

(d) repeating steps (a)-(c) m times to produce polypyrrolinone **(42)**;



(42)

(e) terminating the synthesis by repeating steps (a) through (c) using α-substituted amino acid in

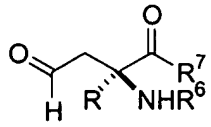


(43)

(f) place of the valerolactone in step (b) to yield **(43).**

2. A process according to claim 1 wherein said polypyrrolinones are substantially diastereomerically pure.

3. A process according to Claim 1 wherein the initial α-amino-α-substituted-1,4-dioxo compound is a compound **(39)** and R^6 is an alkoxycarbonyl protecting group, R is as defined above and R^7 is a lower alkoxy group,



(39)

4. A process according to claim 1 wherein the oxidant in step (c) is oxalyl chloride, a tertiary amine and DMSO.

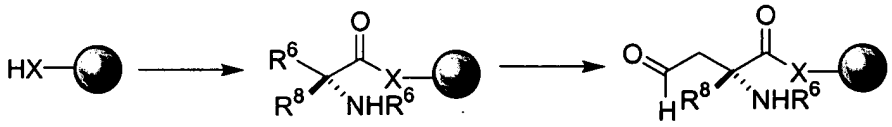
5. A process according to Claim 4 wherein the tertiary amine is DBU or di-*iso*-propylethyl amine.

6. A process according to Claim 1 wherein the crown ether in step (b) is 18-crown-6.

7. A process according to Claim 1 wherein the base in step (b) is potassium hexamethyldisilazane.

8. A solid-phase process according to claim 1 wherein R^7 is a carboxyl or carbamido linked to a solid support further comprising the steps of:

(f) attaching a latent aldehyde **(40)** to a solid support wherein and converting the latent aldehyde to an aldehyde **(41);**



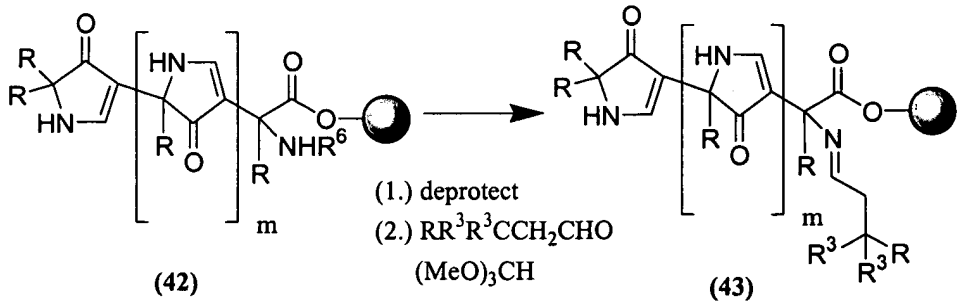
(40) **(41)**

wherein:

R^8 is 3-methyl-1-but-2-enyl, 2,2-dimethoxyethyl, 2-hydroxyethyl, and

X is nitrogen or oxygen;

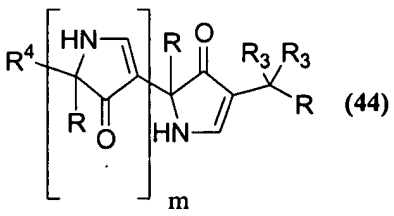
(g) repeating steps (a)-(c) m times and terminating the synthesis as in step (e) to produce polypyrrolinone **(42);**



(42) (43)

(h) cleaving the polypyrrolinone from the resin by deprotecting the α-amino group, and exposing the α-amino acid to a plurality of treatments with an aldehyde, trimethylorthoformate, optionally in the presence of a solvent, to produce the corresponding imine **(43)**; and,

(i) cyclizing **(43)** by forming the metalloimine carbanion with base, optionally in the presence of a crown ether, to produce a pyrrolinone **(44)**.



(44)

9. A process according to claim 7 wherein the oxidant in step (c) is oxalyl chloride, a tertiary amine and DMSO.

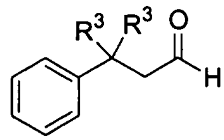
10. A process according to Claim 7 wherein the tertiary amine is DBU or di-*iso*-propylethyl amine.

11. A process according to Claim 7 wherein the crown ether in step (b) is 18-crown-6.

12. A process according to Claim 7 wherein the base in step (b) is potassium hexamethyldisilazane.

13. A process according to Claim 7 wherein R^6 is a trialkylsilylethoxycarbonyl group.

14. A process according to Claim 7 wherein the aldehyde in step (h) is a 3-phenylpropionaldehyde **(45)** derivative optionally substituted at the 3-position with one or two R^3 substituents.



(45)

15. A process according to Claim 7 wherein the aldehyde in step (h) is 3-phenylpropionaldehyde.